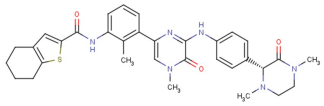
Data Sheet (Cat.No.T11379)

GDC-0834

Chemical Properties



CAS No.:	1133432-49-1
Formula:	C33H36N6O3S
Molecular Weight:	596.74
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	GDC-0834 inhibits BTK with an in vitro IC50 of 5.9 and 6.4 nM in biochemical and cellular assays, respectively, and in vivo IC50 of 1.1 and 5.6 μM in mouse and rat, respectively. GDC-0834 is a potent and selective BTK inhib
Targets(IC_{50})	BTK: 5.9 nM
In vitro	GDC-0834 is shown to be a potent reversible inhibitor of six known aldehyde oxidase (AO) substrates with IC50 values ranging from 0.86 to 1.87 μM. GDC-0834 suppresses BTK kinase activity with an IC50 value of 5.9±1.1 nM with Hill slope value of −0.84±0.07 (mean±S.E.).
In vivo	In the rat CIA study, GDC-0834 inhibits pBTK-Tyr223 in rat blood in a dose-dependent manner. The IC50 estimate of pBTK-Tyr223 inhibition in rats is determined to be 5.6±1.6 μM with m of 0.51±0.087 (mean±S.E.).The treatment of BALB/c mice with GDC-0834 results in dose-dependent inhibition of pBTK-Tyr223. Animals dosed with 150 or 100 mg/kg GDC-0834 for 2 h show complete inhibition of pBTK-Tyr223 levels in blood, with a mean inhibition of 97 and 96%, respectively.

Solubility Information

Solubility	DMSO: 32 mg/mL (53.62 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.676 mL	8.379 mL	16.758 mL
5 mM	0.335 mL	1.676 mL	3.352 mL
10 mM	0.168 mL	0.838 mL	1.676 mL
50 mM	0.034 mL	0.168 mL	0.335 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Liu L, et al. Antiarthritis effect of a novel Bruton's tyrosine kinase (BTK) inhibitor in rat collagen-induced arthritis and mechanism-based pharmacokinetic/pharmacodynamic modeling: relationships between inhibition of BTK phosphorylation and efficacy. J

2. Sodhi JK, et al. A novel reaction mediated by human aldehyde oxidase: amide hydrolysis of GDC-0834. Drug Metab Dispos. 2015 Jun;43(6):908-15.

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